=> file reg

COST IN U.S. DOLLARS

SINCE FILE ENTRY

0.15

TOTAL SESSION 0.15

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 09:12:03 ON 29 JUN 1998
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STRUCTURE FILE UPDATES: 27 JUN 98 HIGHEST RN 207723-15-7 DICTIONARY FILE UPDATES: 28 JUN 98 HIGHEST RN 207723-15-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 14, 1998

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=>Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=>
Uploading c:\stnexp4\queries\925326.str

L1 STRUCTURE UPLOADED

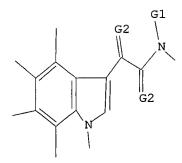
=> que L1

L2 QUE L1

=> d L1

L1 HAS NO ANSWERS

L1 STR



G1 H, Ph

G2 0, S

Structure attributes must be viewed using STN Express query preparation.

=> s L1 sss sam

SAMPLE SEARCH INITIATED 09:12:31 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -0 TO ITERATE

O ANSWERS 100.0% PROCESSED 0 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO PROJECTED ANSWERS: O TO

L3 0 SEA SSS SAM L1

=> s L1 sss full

FULL SEARCH INITIATED 09:12:39 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -100.0% PROCESSED 0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.03

0 SEA SSS FUL L1

=> file beil

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 113.82 113.97

FILE 'BEILSTEIN' ENTERED AT 09:12:46 ON 29 JUN 1998 COPYRIGHT (c) 1998 Beilstein Chemiedaten und Software GmbH, Beilstein Institut fuer Literatur der organischen Chemie

FILE LAST UPDATED: 1 JUN 1998

FILE COVERS 1779 TO 1997.

*** CAS REGISTRY NUMBERS FOR 4,355,940 SUBSTANCES AVAILABLE *** *** FILE CONTAINS 7,268,893 SUBSTANCES ***

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- * ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE
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=> s L1 sss full

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100.0% PROCESSED 0 ITERATIONS

SEARCH TIME: 00.00.08

0 SEA SSS FUL L1 L5

=> file caslink

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.00 113.97

0 ANSWERS

FULL ESTIMATED COST

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CLUSTER 'CASLINK' ENTERED

Predefined command sequences will be executed in REGISTRY, MARPAT, MARPATPREV, and CAPLUS.

=> s L1 sss full

S L1 SSS FUL FILE=REGISTRY

FULL SEARCH INITIATED 09:13:17 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 0 TO ITERATE 0 ITERATIONS

100.0% PROCESSED

0 ANSWERS

SEARCH TIME: 00.00.02

0 SEA SSS FUL L1

1 FILES SEARCHED...

S L6 SSS FUL FILE=MARPAT

FULL SEARCH INITIATED 09:13:22 FILE 'MARPAT'

FULL SCREEN SEARCH COMPLETED - 15414 TO ITERATE

12.1% PROCESSED 1863 ITERATIONS (3 INCOMPLETE) 5 ANSWERS 22.2% PROCESSED 3426 ITERATIONS (18 INCOMPLETE) 20 ANSWERS 36.3% PROCESSED 5599 ITERATIONS (33 INCOMPLETE) 35 ANSWERS 52.5% PROCESSED 8098 ITERATIONS (48 INCOMPLETE) 50 ANSWERS 64.9% PROCESSED 10006 ITERATIONS (67 INCOMPLETE) 69 ANSWERS

Page 3

```
11516 ITERATIONS (
                                                                                                                       92 ANSWERS
  74.7% PROCESSED
                                                                                90 INCOMPLETE)

      74.7% PROCESSED
      11516 ITERATIONS ( 90 INCOMPLETE)
      92 ANSWERS

      84.9% PROCESSED
      13088 ITERATIONS ( 98 INCOMPLETE)
      100 ANSWERS

      90.7% PROCESSED
      13984 ITERATIONS ( 105 INCOMPLETE)
      107 ANSWERS

      93.4% PROCESSED
      14390 ITERATIONS ( 109 INCOMPLETE)
      111 ANSWERS

      97.3% PROCESSED
      14994 ITERATIONS ( 112 INCOMPLETE)
      114 ANSWERS

      99.5% PROCESSED
      15337 ITERATIONS ( 113 INCOMPLETE)
      115 ANSWERS

      99.7% PROCESSED
      15371 ITERATIONS ( 114 INCOMPLETE)
      116 ANSWERS

      99.8% PROCESSED
      15382 ITERATIONS ( 115 INCOMPLETE)
      117 ANSWERS

      100.0% PROCESSED
      15414 ITERATIONS ( 117 INCOMPLETE)
      119 ANSWERS

SEARCH TIME: 00.04.03
                     119 SEA SSS FUL L1
     1 FILES SEARCHED...
S L7 SSS FUL FILE=MARPATPREV
FULL SEARCH INITIATED 09:17:27 FILE 'MARPATPREV'
FULL SCREEN SEARCH COMPLETED - 35 TO ITERATE
                                                                                                                           0 ANSWERS
100.0% PROCESSED 35 ITERATIONS
SEARCH TIME: 00.00.09
                           0 SEA SSS FUL L1
      1 FILES SEARCHED...
S L6 FILE=CAPLUS
                        0 FILE CAPLUS
      1 FILES SEARCHED...
SET DUPORDER FILE
SET COMMAND COMPLETED
DUP REM L8 L7 L9
L8 HAS NO ANSWERS
L9 HAS NO ANSWERS
PROCESSING COMPLETED FOR L8
PROCESSING COMPLETED FOR L7
PROCESSING COMPLETED FOR L9
                       119 DUP REM L8 L7 L9 (0 DUPLICATES REMOVED)
L10
                               ANSWERS '1-119' FROM FILE MARPAT
=> s L10/com
S L10/COM FILE=CAPLUS
      1 FILES SEARCHED...
```

QUALIFICATION NOT VALID FOR L*** Field code qualifications can only be applied to text terms.

L10 ANSWER 118 OF 119 MARPAT COPYRIGHT 1998 ACS (ALL HITS ARE ITERATION INCOMPLETES) 114:82562 MARPAT

ACCESSION NUMBER:

TITLE:

Preparation of acyldipeptide amides as

tachykinin antagonists

INVENTOR (S):

Matsuo, Masaaki; Hagiwara, Daijiro; Miyake,

Hiroshi

PATENT ASSIGNEE(S):

Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE:

Eur. Pat. Appl., 13 pp.

CODEN: EPXXDW

NUMBER DATE ____ EP 394989 A2 901031 PATENT INFORMATION:

DESIGNATED STATES:

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI,

LU, NL, SE

900425 APPLICATION INFORMATION: EP 90-107822 890428 PRIORITY APPLN. INFO.: GB 89-9795 890801 GB 89-17542

DOCUMENT TYPE:

Patent

LANGUAGE:

English

MSTR 3B ITERATION INCOMPLETE

= CO2H / 3G3

Ģ4—со₂н

= alkylene<(1-6)> / alkenylene<(2-6)> / (SC CH2 / G4

CH2CH2 / CH2CH2CH2 / CH=CH)

G5 = CH / N

= 0 / s / 46G6

G7 = H / R / (SC OH / X / alkyl<(1-6)> / alkoxy<(1-6)> / dialkylamino<(1-6)> / acyl / alkoxycarbonyl<(1-6)> / Cl / Me / OMe / NMe2)

G8 = H / R / (SC alkyl<(1-6)> (SO (1-) CO2H (SO)) / alkyl<(1-6)> (SR (1-) dialkylamino<(1-6)>) / alkyl<(1-6)> (SR (1-) alkylaminocarbonyl<(1-6)> (SR (1-) dialkylamino<(1-6)>) / alkyl<(1-6)> (SR (1-) alkoxycarbonyl<(1-6)> / alkyl<(1-6)> (SR (1-) alkoxycarbonyl<(1-6)>) / Me / Pr-i / CH2CO2H / 48 / 52 / 57)

DER: or reactive derivatives or salts

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 116:255478 MARPAT

TITLE: Preparation of 3-alkylthio-N-benzylindoles and

related compounds as leukotriene inhibitors

INVENTOR(S): Gillard, John W.; Morton, Howard E.; Fortin,

Rejean; Guindon, Yvan

PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.

SOURCE: U.S., 30 pp. Cont.-in-part of U.S. Ser. No.

942,900, abandoned.

CODEN: USXXAM

	NUMBER	DATE
PATENT INFORMATION:	US 5081138 A	920114
APPLICATION INFORMATION:	US 87-130771	871209
PRIORITY APPLN. INFO.:	US 86-942900	861217
DOCUMENT TO DE .	Datont	

DOCUMENT TYPE: Patent LANGUAGE: English

MSTR 1 ITERATION INCOMPLETE

```
G1 = H / alkyl < (1-7) > / cycloalkyl < (3-7) >
```

G3 = O / S / S(O) / SO2

G4 = alkyl (SO (1-) G14) / cycloalkyl (SO) /

alkenyl<(2-6)> / cycloalkenyl<(3-6)> / Ph (SO)

G5 = (1-) H / alkyl (SO (1-) G19) / cycloalkyl<(3-7)> (SO) / alkenyl<(2-6)> /

cycloalkenyl<(3-6)> / OH / 126 / F / Cl / Br / I / CF3 / SH /

Ph (SO) / CO2H / 129 / CHO / 133 / alkylcarbonyl (SO) / tetrazolyl / NHCHO / 138 / alkylcarbonylamino (SO) / 139 / 143 / 146 / NO2 / OCHO / alkylcarbonyloxy (SO) / 148 / CN /

$$126$$
 $C = 0$ $C = 0$

G6 = (3-) H / alkyl<(1-3)> / F / Cl / Br / I / OH / CN / CF3 / alkoxy<(1-3)> / alkylthio<(1-3)> / CO2H / alkoxycarbonyl<(1-3)> / alkylcarbonyl<(1-3)> / N3 / R G7 = alkylene / (SC 125-8 123-34)

G8 = CH2OH / 38 / 68

G9 = OH / alkoxy<(1-7)> / cycloalkyloxy<(3-7)> /
OPh (SO) / OCH2Ph (SO) / 40 / 46 / 56 / H / NH2 /
alkylamino<(1-7)> / cycloalkylamino<(3-7)> / 80 /
Hy<EC (1) Q (1) N (2-5) C (0) OTHERQ, AN (1) N, AR (0),
BD (ALL) SE, RC (1), RS (1) M3 (1) X6> / 72 / 75 /
Hy<EC (2) Q (1) N (1) O (1-4) C (0) OTHERQ, AN (1) N,
AR (0), BD (ALL) SE, RC (1), RS (1) M3 (1) X6>

= alkyl<(1-7)> / cycloalkyl<(3-7)>G12 = OH / alkoxy<(1-7)> / cycloalkyloxy<(3-7)>G13 = OH / 82 / F / C1 / Br / I / CF3 / SH / Ph (SO) / G14 ${
m CO2H}$ / 85 / CHO / 89 / alkylcarbonyl (SO) / tetrazolyl / NHCHO / 94 / alkylcarbonylamino (SO) / 95 / 99 / 107 / NO2 / OCHO / alkylcarbonyloxy (SO) / 109 / CN / N3 615-R 82 02S—G16 0 107 109 C—G17 = 0 / S / S(0)G15 = R / NH2 / 102 / 105 / Hy < EC (1-) Q (1-) N,G16 AN (1-) N, RC (1), RS (1) M5 (1) X7> = NH2 / 113 / 116 / Hy<EC (1-) Q (1-) N, AN (1-) N, G17 RC (1), RS (1) M5 (1) X7> / 118 = CH2 / CH2CH2CH2 G18 = R / OHG19 and pharmaceutically acceptable salts DER: MPL: claim 1 NTE: additional ring formation specified

ACCESSION NUMBER:

120:54771 MARPAT

TITLE:

Preparation of .beta.-carbolines as noncompetitive glutamate antagonists.

INVENTOR(S):

Huth, Andreas; Krueger, Martin; Rahtz, Dieter;

Seidelmann, Dieter; Turski, Lechoslaw;

Loeschmann, Peter Andreas; Stephens, David

Norman; Schneider, Herbert

PATENT ASSIGNEE(S):

Schering A.-G., Germany

SOURCE:

Ger. Offen., 7 pp.

CODEN: GWXXBX

	NUMBER	DATE
PATENT INFORMATION:	DE 4212529 A1	931014
APPLICATION INFORMATION:	DE 92-4212529	920410
DOCUMENT TYPE:	Patent	

LANGUAGE:

German

MSTR 1 ITERATION INCOMPLETE

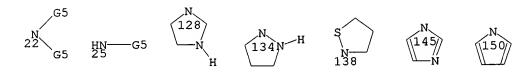
= (1-) H / F / Cl / Br / I / NH2 / NO2 / 19 / G1 Ph (SO (1-) G7) / heteroaryl / OH / 27 / 54 / (EX pyridyl / pyrimidinyl / pyrazinyl / pyridazinyl / furyl / thienyl / pyrrolyl / thiazolyl / imidazolyl / triazinyl)

G2 = H / alkyl<(1-4)>

= H / alkyl < (1-2) > (SO G4) / alkoxy < (1-6) > /G3 alkylthio<(1-6)> / Ph (SO (1-) G24) / 269

G28-G25 269

G4 = NH2 / 22 / 25 / Hy<EC (1-2) Q (1-) N (0-) O (0-) S (0) OTHERQ, AN (1-) N, AR (0), BD (ALL) SE, RC (1), RS (1) M5 (1) X6> (SO (1-2) G6) / (EX piperidino / morpholino / piperazino / pyrrolidino / 128 / 134 / thiomorpholino / 138 / 145 / 150 / 155 / 160 / 168)

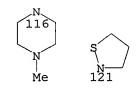


G5 = Ph / alkyl < (1-4) >

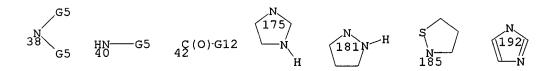
G6 = alkyl<(1-4)> / alkoxycarbonyl<(1-4)>G7 = F / Cl / Br / I / alkoxy<(1-4)> / NH2

G8 = alkyl<(1-6) / cycloalkyl<(3-7) /
Ph (SO (1-) G24) / 62 / heteroaryl (SO (1-) G24) /
Hy<EC (1-) Q, AR (1-), BD (6-) N, RC (2),
RS (0-) E5 (1-) E6 (0) OTHER> (SO (1-) G24) / (EX pyridyl /
pyrimidinyl / pyrazinyl / pyridazinyl / furyl / thienyl /
pyrrolyl / thiazolyl / imidazolyl / triazinyl / quinolinyl /
isoquinolinyl / quinoxalinyl / benzimidazolyl)

G9 = OH / NH2 / 32 / 35 / Hy<EC (1-2) Q (1-) N (0-) O (0)
OTHERQ (1-5) C, AN (1-) N, AR (0), BD (ALL) SE, RC (1),
RS (1) X6> (SO (1-2) G16) / Hy<EC (1-4) Q (1-3) N (-1) O (-1)
S (0) OTHERQ, AN (1) N, BD (1-) D, RC (1), RS (1) M5 (1) X6>
/ (EX piperidino / morpholino / piperazino / pyrrolidino /
81 / 87 / thiomorpholino / 121 / 93 / 98 / 103 / 108 / 116)



G10 = alkyl<(1-6)> / alkenyl<(2-6)>
G11 = alkyl<(1-6)> / alkenyl<(2-6)> / 38 / 40 / NH2 /
Hy<EC (1-2) Q (1-) N (0-) O (0-) S (0) OTHERQ, AN (1-) N,
AR (0), BD (ALL) SE, RC (1), RS (1) M5 (1) X6>
(SO (1-2) G6) / OH / alkoxy<(1-6)> / 42 / cycloalkyl<(3-7)> /
Ph (SO (1-) G13) / alkyl<(1-2)> (SR G14) /
alkyl<(1-6)> (SO (1-) G15) / (EX piperidino / morpholino /
piperazino / pyrrolidino / 175 / 181 / thiomorpholino / 192 / 197 / 202 / 207 / 215)



G12 = H / alkyl<(1-4)> / NH2
G13 = F / Cl / Br / I
G14 = Ph (SO (1-) G13) / F / Cl / Br / I
G15 = alkoxy<(1-4)> / NH2 / 45 / 47 /
Hy<EC (1-2) Q (1-) N (0-) O (0-) S (0) OTHERQ, AN (1-) N,
AR (0), BD (ALL) SE, RC (1), RS (1) M5 (1) X6>
(SO (1-2) G6) / OH / F / Cl / Br / I / Ph /
alkoxycarbonyl<(1-4)> / CO2H / (EX piperidino / morpholino /
piperazino / pyrrolidino / 222 / 228 / thiomorpholino / 232 / 239 / 244 / 249 / 254 / 262)

G16 =
$$alkyl<(1-4)>$$
 / $alkoxycarbonyl<(1-4)>$
G17 = H / Ph / cycloalkyl<(3-7)> / $alkyl<(1-6)>$ / 50

$$G18 = (1-3) CH2$$

 $G19 = OH / 267$

```
= H / alkyl<(1-6)>
G20
       = OH / alkoxy<(1-6)> / OCH2Ph / 57 / 60 / NH2 /
G21
          Hy<EC (1-2) Q (1-2) N (0-) O (0-) S (0) OTHERQ, AN (1-) N,
         AR (0), BD (ALL) SE, RC (1), RS (1) M5 (1) X6> (SO G23)
    ,G22
               -G22
G22
       = alkyl<(1-6)> / alkenyl<(2-6)>
       = Ph / alkyl < (1-4) >
G23
       = R / (EX F / Cl / Br / I / NO2 / CN / alkyl<(1-4)> / alkoxy<(1-4)> / NH2 / alkoxycarbonyl<(1-4)> /
G24
          alkylthio<(1-4)>/ CF3)
G25
       = Ph (SO (1-) G24)
       = alkyl<(1-6)> / alkyl<(1-4)> (SR alkoxy<(1-4)>) / Ph
G27
       = CH2 / O
G28
          claim 1
MPL:
```

ACCESSION NUMBER:

123:32955 MARPAT

TITLE:

Preparation of 1H-indole-3-acetic acid

hydrazides as sPLA2 inhibitors.

INVENTOR (S):

Bach, Nicholas James; Dillard, Robert Delane;

Draheim, Susan Elizabeth; Hermann, Robert Bell;

Schevitz, Richard Walter

PATENT ASSIGNEE(S):

Lilly, Eli, and Co., USA

SOURCE:

Eur. Pat. Appl., 66 pp.

CODEN: EPXXDW

NUMBER DATE

PATENT INFORMATION:

941019 EP 620214 A1

DESIGNATED STATES:

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

APPLICATION INFORMATION: EP 94-302646

940414 930416

PRIORITY APPLN. INFO.:

US 93-48608 Patent

DOCUMENT TYPE:

LANGUAGE:

English

ITERATION INCOMPLETE MSTR 1

 $H_2C - CH_2 + Ph \qquad H_2C - CH_2 + Ph \qquad 193$ = F / Cl / Br / I G3 = F / Cl / Br / I / CN / CHO / OH / SH / G4 alkylthio<(1-10)> / alkoxy<(1-10)> / alkyl<(1-10)> / CO2H /NH2 / NHOH G5 = alkylene = aryl (SO (1-) G7)G6 = F / Cl / Br / I / CN / CHO / OH / NO2 / Ph / SH / G7 alkylthio<(1-10)> / alkoxy<(1-10)> / alkyl<(1-10)> / NH2 /NHOH / Hy<EC (5-8) A, RC (1), RS (1) M5 (1) X8> (SO) = F / Cl / Br / I / alkyl < (1-3) > / CH = CH2 /G8 alkylthio<(1-2)> / alkoxy<(1-2)> / CHO / CN / (SC SMe) / (EX Me / Et / Pr-n / S(O)Me) = 20 / 23 / 26 / (EX CHMe / 208)G9 = H / F / Cl / Br / I G10 = alkyl < (1-3) >G11 = H / alkyl < (1-10) > (SO (1-) G3) / alkenyl < (2-10) > /G12 alkynyl < (2-10) > / cycloalkyl < (3-8) > / aryl / aralkyl /alkoxy<(1-10)> (SO (1-) G3) / cycloalkyloxy<(4-8)> / OPh / F / Cl / Br / I / OH / CO2H / SH / CN / alkylthio<(1-10)> / arylthio / R / alkoxycarbonyl<(1-10)> / NHNH2 / NH2 / NO2 / NH2 / alkylamino<(1-10)> (SO OH) / dialkylamino<(1-10)> (SO OH) / Hy<EC (1-) N, AN (1-) N, RC (1), RS (1) M5 (1) X8> / 35 / 37 / 96 / (EX OEt / 106 / OMe / Me / OCH2Ph / Ph / Bu-t / 207 / 212) C(O)-G13 G14-G15 9623-G14-G15 о_Сн₂-со₂н G13 = NH2 / alkylamino<(1-10)> (SO OH) / dialkylamino<(1-10)> (SO OH) / Hy < EC (1-) N, AN (1-) N, RC (1), RS (1) M5 (1) X8> G14 = alkylene (SO OH) / 99 9625≔0

= 39 / 42 / 48 / SO3H / 54 / 64 / 65 / 83 / CO2H /

alkoxycarbonyl<(1-10)> / 87

G15

G16 = OH / alkoxy < (1-10) >

G18 = (1-8) CH2

G19 = NH2 / alkylamino<(1-10)> / dialkylamino<(1-10)> / 73

G21 = alkyl < (1-10) >G22 = OH / alkoxy < (1-10) >

G23 = 0 / 97 / NH / S

G24 = alkyl < (1-10) >

G25 = Ak < BD (ALL) SE > (SO OH)

G26 = 132 / 141 / 147 / 155 / 163 / pyridyl / 181

G27 = Cl / OMe

G28 = C1 / Me / CF3 / OMe / 174 / OCH2Ph / OH / NO2 / NH2

0.74 CH2 Me

G29

= Cl / OMe / OCH2Ph / OH
 and pharmaceutically acceptable salts and/or metal salts
 claim 1 DER:

MPL:

additional ring formation specified NTE:

ACCESSION NUMBER: 123:32954 MARPAT

Preparation of 1H-indole-3-acetamides as sPLA2 TITLE:

inhibitors.

INVENTOR(S): Bach, Nicholas James; Dillard, Robert Delane;

Draheim, Susan Elizabeth; Hermann, Robert Bell;

Schevitz, Richard Walter

PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA SOURCE:

Eur. Pat. Appl., 123 pp.

CODEN: EPXXDW

DATE NUMBER PATENT INFORMATION: EP 620215 A1 941019

DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,

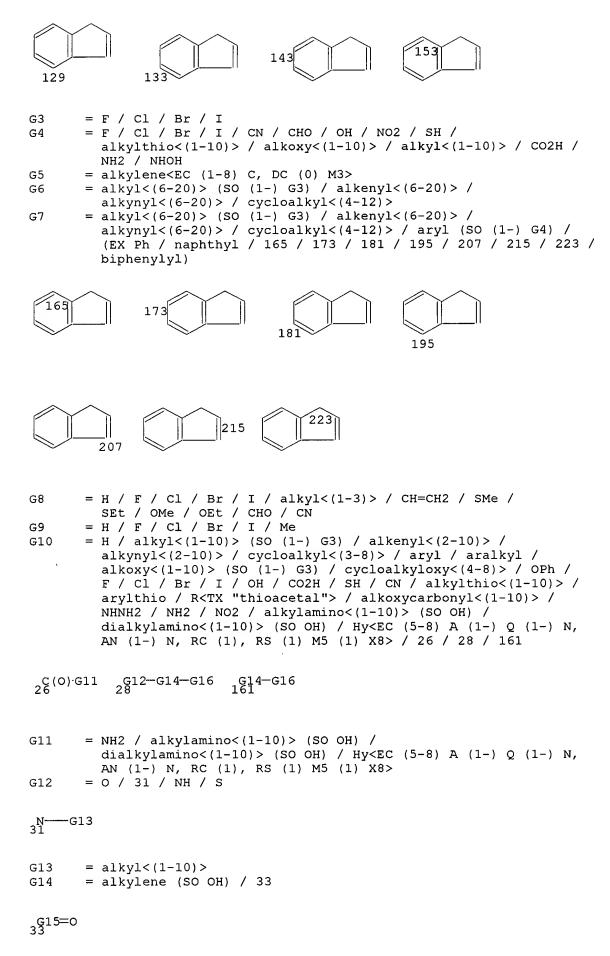
LI, LU, NL, PT, SE

APPLICATION INFORMATION: EP 94-302666 940414 US 93-48629 PRIORITY APPLN. INFO.: 930416 US 94-208721 940315

DOCUMENT TYPE: Patent LANGUAGE: English

MSTR 1 ITERATION INCOMPLETE

G1 = 0 / SG2 = alkyl<(6-20)> (SO (1-) G3) / alkenyl<(6-20)> / alkynyl<(6-20)> / cycloalkyl<(4-12)> / aryl (SO (1-) G4) /15 / 17 / (EX Ph / naphthyl / 103 / 113 / 123 / 129 / 133 / 143 / 153 / biphenylyl)



$$\begin{array}{c}
G21 \\
\downarrow_{+} \\
G21-N-G21
\end{array}$$

G20 = OH / alkoxy<(1-10)>

G21 = alkyl < (1-10) >

DER: and pharmaceutically acceptable salts

MPL: claim 1

NTE: additional ring formation possible

L10 ANSWER 74 OF 119 MARPAT COPYRIGHT 1998 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 124:117083 MARPAT

Preparation of indole-3-glyoxylamides as sPLA2 TITLE:

inhibitors.

Bach, Nicholas James; Dillard, Robert Delane; INVENTOR (S):

Draheim, Susan Elizabeth

PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA

Eur. Pat. Appl., 78 pp. SOURCE:

CODEN: EPXXDW

NUMBER DATE 951004 PATENT INFORMATION: EP 675110 A1

DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,

LI, LU, NL, PT, SE

APPLICATION INFORMATION: EP 95-302166 950331 PRIORITY APPLN. INFO.: US 94-221916 940401

DOCUMENT TYPE: Patent LANGUAGE: English

MSTR 1 ITERATION INCOMPLETE

Ġ2

= 0 / SG1

= Ak < EC (7-20) C, BD (0-) D (0-) T > (SO) /G2 Cb < (5-14) > (SO) / Hy < EC (5-14) A (1-3) Q (0-) N (0-) O (0-)S (0) OTHERQ> (SO) / 16 / 225 / (SC Ph (SO (1-2) Me) /naphthyl / 242 / 250 / 259 / 263 / 273 / 283 / 294 / 304 / 314 / 324 / 325 / 338 / 348 / 359 / 370 / 376 / 395 / 413)

. 1

$$16^{\frac{1}{17}} \quad 225^{\frac{1}{226}} \quad 225^{\frac{1}{226}}$$

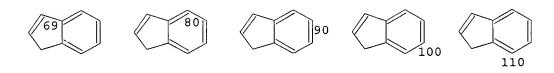
242

G3 = R<TX "linking group"> / (SC alkylene<(1-2)> / G29 / 221-2 222-17)

G28-G29 221 222

G4 = Cb<(5-14) > (SO (1-) G16) /
Hy<EC (5-14) A (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ>
(SO) / (SC Ph (SO (1-) Me) / naphthyl / 28 / 36 / 45 / 49 /
59 / 69 / 80 / 90 / 100 / 110 / 111 / 124 / 134 / 145 / 156 /
418 / 437 / 455 / Ph (SR (1-) G16))





G5 = H / F / Cl / Br / I / alkyl<(1-3)> /
cycloalkyl<(3-4)> / cycloalkenyl<(3-4)> / alkoxy<(1-2)> /
alkylthio<(1-2)> / R / (SC cyclopropyl / Me / Et / Pr-n)
G6 = H / R / 20 / (SC 207 / 234)

G7 = R<TX "linking group"> / (SC 196-6 197-21 / 238-6 239-21)

G8 = R<TX "acidic group"> / (SC 163 / SO3H / 203 / 172 / 184 / 192 / 194 / 186)

```
Ġ18
       = H / R / 23 / (SC 212 / 229)
G10-G8 G24-G25-G27-CO2H G24-G25-CO2H 23 24 212
       = R<TX "linking group"> / (SC 232-7 233-24 /
G10
         200-7 202-24 )
G24-G25-G26 G24-G25
G11
       = CN / H
       = H / R / Cb < (5-14) > (SO) /
G12
         Hy<EC (5-14) A (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ>
         (SO) / (SC alkyl < (1-6) > (SO aryl) / alkenyl < (2-6) > /
         alkynyl<(2-6)> / aryl (SR alkyl) / cycloalkyl<(3-8)> /
         cycloalkenyl<(3-8)> / Ph (SO (1-2) Me) / biphenylyl /
         alkoxy<(1-6)>(SO(1-)G17)/alkenyloxy<(2-6)>/
         alkynyloxy<(2-6)>/alkyl<(1-11)>(SR alkoxy<(1-11)>)/
         alkoxy<(1-11)> (SR alkoxy<(1-11)>) / 460 /
         alkylcarbonylamino<(1-11)> / alkoxyamino<(2-12)> /
alkoxyaminocarbonyl<(1-11)> / alkylamino<(2-12)> /
         alkylthio<(1-6)> / alkylsulfinyl<(1-6)> /
         alkylsulfonyl<(1-6)>(SO(1-)G17)/
         alkyl < (2-6) > (SR (1-) G17) / alkyl < (1-6) > (SR OH) /
         alkoxycarbonyl<(1-6)> / OCH2Ph / OPh / SPh / CHO / NH2 /
         C(NH)NH2 / Br / CONH2 / CO2H / alkyl < EC (1-8) C, DC (0) M3>
         (SR CO2H) / Cl / CN / 216 / F / NHNH2 / OH / NHOH / I / NO2 /
         PO3H2 / SO3H)
G13
       = phenylene
       = CH=CH / phenylene / G15
G14
G15
       = (0-8) CH2
       = R / (SC F / Cl / Br / I /
G16
         alkyl<(1-10)> (SO (1-) G17) / alkoxy<(1-10)> /
         alkylthio<(1-10)>)
G17
       = F / Cl / Br / I
       = 173 / alkoxy<(1-10)> / OH / 175
G18
          0<del>---</del>G19-G20
194 ●м
G19
       = (1-8) CH2
```

= 178 / 180

G20

Page 4

```
Ģ22
             Ġ22
       = NH2 / alkylamino<(1-10)> / dialkylamino<(1-10)>
G21
G22
       = alkyl<(1-10)>
G23
       = H / R
G24
       = CH2 / O / NH / S
       = (1-7) CH2 (SO)
G25
      = phenylene
G26
G27
      = phenylene
      = CH2 / O / 223 / S
G28
    -G30
223
       = (1-5) CH2 (SO)
G29
      = H / alkyl < (1-10) >
G30
       = Ak < EC (7-20) C, BD (0-) D (0-) T> (SO)
G31
       = R<TX "linking group"> / (SC alkylene<(1-2)> / G29 /
G32
         227-2 228-226 )
G28-G29
227 228
       = Me / CH2CH2Ph
G33
G34
       = phenylene
G35
       = CH=CH / phenylene / G15
G36
       = alkyl<(1-11)>
         or pharmaceutically acceptable salts, solvates or prodrug
DER:
         derivatives
MPL:
         claim 1
NTE:
         substitution is restricted
```

L10 ANSWER 54 OF 119 MARPAT COPYRIGHT 1998 ACS

(ALL HITS ARE ITERATION INCOMPLETES)

ACCESSION NUMBER: 124:260835 MARPAT

TITLE: Indole-2-carboxylic acids as nonpeptide

endothelin antagonists

INVENTOR(S): Berryman, Kent A.; Bunker, Amy M.; Doherty,

Annette M.; Edmunds, Jeremy J.

PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: U.S., 12 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

MSTR 1A ITERATION INCOMPLETE

$$G1 = H$$
 $G2 = H$

$$G3 = (0-4) CH2$$

$$G4 = 41 / 50 / (EX H / cycloalkyl < (3-12) >)$$

```
= H / F / Cl / Br / I / alkyl<(1-6)> / OH / 14 / NH2 /
G5
                                SH / NO2 / N3 / CHO / 18 \overline{\ } CO2H / 20 / CONH2 / 25 / 32 / 35 /
                                CN / CF3 / 63 / OCOMe / 66 / Ph / 68
  G7—G6 C(O)-G6
                                                                     C(0)-G8—G6 O2S—G9
25
                                          OH G10-G11-G12-O-G13
                         = alkyl < (1-4) > / Ph / CH2Ph
G6
                         = 0 / NH / 16 / S
G7
N----G6
16
                 = 0 / NH / 23
G8
23
23
                  = NH2 / 27 / 29
G9
                         = NH / S / O
G10
G11
                        = (1-3) CH2
G12
                         = C(O) / NULL
                         = H / alkyl<(1-4)> / Ph / CH2Ph
G13
                         = H / alkyl<(1-7)> / alkenyl<(2-7)> / alkynyl<(2-7)> /
G14
                                cycloalkyl<(3-12)> / Ph (SO) / COPh / 77 / OH / 80 / NO2 / N3 / CHO / 82 / CO2H / CONH2 / 84 / 87 / 90 / CN / CF3 / 92 /
                                OCOMe / 95 / 97
  G15-G16-G17 G7-G6 C(0)·G6 C(0)·G8-G6
 F2C—CF3 OH
92 OH
95 OH
97 OH
9
                         = 0 / NH / S / S(0) / SO2
G15
                         = (0-4) CH2
G16
G17
                         = Ph / naphthyl
                         = H / CO2H / 103 / 109 / 121 / SO3H / 122 / PO3H2 /
G18
                                 126 / 128 / 135 / 140
```

$$103^{\circ}$$
 109° 109° 109° 121° $121^$

G19 =
$$alkyl < (1-6) > / CF3 / 106 / Ph (SO) / CH2Ph (SO)$$

$$G20 = C(0) / S02$$

 $G21 = NH / 131$

G22 =
$$H / alkyl < (1-6) > / CF3 / 133 / Ph (SO) / CH2Ph (SO)$$

G23 =
$$S / S(O) / SO2$$

G24 = Ph ($SO (1-) G25$) / 172 / 181

G26 = H / F / Cl / Br / I / OH / SH / NH2 / 194 / NO2 / N3 / CHO / 196 / 198 / CONH2 / 201 / 204 / CN / CF3 / 206 / OCOMe / 209 / Ph / 211 / (EX OMe / OPr-n / OCH2Ph)

G27 = H / alkyl<(1-7)> / alkenyl<(2-7)> / alkynyl<(2-7)> /
cycloalkyl<(3-12)> / Ph (SO) / COPh / 216 / OH / 219 / NO2 /
N3 / CHO / 221 / CO2H / CONH2 / 223 / 226 / 229 / CN / CF3 /
231 / OCOMe / 234 / 236

G28 = H / alkyl<(1-7)> / alkenyl<(2-7)> / alkynyl<(2-7)> /
cycloalkyl<(3-12)> / Ph (SO) / COPh / 241 / OH / 244 / NO2 /
N3 / CHO / 246 / CO2H / CONH2 / 248 / 251 / 254 / CN / CF3 /
256 / OCOMe / 259 / 261

G29 = H / alkyl<(1-7)> / alkenyl<(2-7)> / alkynyl<(2-7)> /
cycloalkyl<(3-12)> / Ph (SO) / COPh / 266 / OH / 269 / NO2 /
N3 / CHO / 271 / CO2H / CONH2 / 273 / 276 / 279 / CN / CF3 /
281 / OCOMe / 284 / 286

G1 + G2 = NULLG14+G27= OCH2O G27+G28= OCH2O G28+G29= OCH2O

or pharmaceutically acceptable acid addition or base salts DER:

MPL: claim 1
NTE: also incorporates broader disclosure

125:275644 MARPAT CCESSION NUMBER:

TITLE: Preparation of aryl/heteroaryl-substituted

acylaminoalkanecarboxamides and

acylaminoalkenecarboxamides as neurokinin 1

antagonists

INVENTOR(S): Gerspacher, Marc; Von Sprecher, Andreas; Roggo,

> Silvio; Mah, Robert; Ofner, Silvio; Veenstra, Siem Jacob; Betschart, Claudia; Auberson, Yves;

Schilling, Walter

PATENT ASSIGNEE(S): Switz.

PCT Int. Appl., 143 pp. SOURCE:

CODEN: PIXXD2

NUMBER DATE

WO 9626183 A1 960829 PATENT INFORMATION:

W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, DESIGNATED STATES: GE, HU, IS, JP, KP, KR, LK, LR, LT, LV, MD, MG,

MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AZ, BY, KG, KZ, RU, TJ, TM RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG

APPLICATION INFORMATION: WO 96-EP555 960209 950222 PRIORITY APPLN. INFO.: EP 95-810117

DOCUMENT TYPE: Patent LANGUAGE: English

MSTR 1 ITERATION INCOMPLETE

= NH / 6 G2

= alkyl < (1-7) > (SO G12) / (SC Me / 18 / CHPh2)G3

Page 1

G4 = aryl (SO) / heteroaryl (SO) / (SC Ph (SO (1-2) G13) / naphthyl (SO (1-2) G13) / indolyl (SO (1-2) G13) / 59)

G5 = alkylene<(1-7)> / alkenylene<EC (2-7) C, BD (1-2) D> / (SC CH2CH2 / CH=CH / CH2 / 75-1 76-11)

G6 = 13 / Hy<EC (1-) N, AN (1-) N> (SO) / (SC piperidino (SO (1-2) G28) / aziridino (SO alkyl<(1-7)>) / 136 / piperazino (SO G29) / morpholino / 79 / 94 / 109 / 117 / 121)

G7 = H / alkyl<(1-7)> / aryl (SO) / heteroaryl (SO) / (SC Ph (SO (1-2) G13) / naphthyl (SO (1-2) G13) / indolyl (SO (1-2) G13))

```
32 G18≔O
                     H2C-m-C6H4OMe
       = alkyl<(1-7)>(SO) / alkenyl<(2-7)>(SO) /
G9
         aryl (SO) / heteroaryl (SO) / Ph (SO alkyl<(1-7)>)
       = H / R / (SC alkyl < (1-7) > (SO G19) /
G10
         alkenyl<(2-7)>(SO) / alkynyl<(2-7)>(SO) / aryl (SO) /
         heteroaryl (SO) / acyl / Pr-i)
G11
       = alkyl<(1-7)> / CF3 / F / Cl / Br / I / OH /
         alkoxy<(1-7)>/NO2
G12
       = aryl (SO) / (SC Ph (SO (1-2) G13))
       = alkyl < (1-7) > / CF3 / F / Cl / Br / I / OH /
G13
         alkoxy<(1-7)>
       = H / Cl
G14
       = 20 / 2-naphthyl / 25
G15
p-C6H4G14
       = H / Me
G16
       = R / aryl (SO G25) / heteroaryl (SO) / Ph /
G17
         naphthyl / indolyl / pyridyl / quinolinyl / pyrimidinyl /
         thiazolyl / thiadiazolyl / isothiazolyl /
         alkoxycarbonyl<(1-7)> / CONH2 / CN / dialkylamino<(1-7)> /
         piperidino / morpholino / OH / COPh /
         cycloalkyl (SO alkoxycarbonyl<(1-7)>)
       = Hy < EC (0-) N (0-) O (0-) S (0) OTHERQ> (SO)
G18
G19
      = R / OH / CN
       = R / OH
G20
G21
       = H / alkyl < (1-7) > / CHO / alkylcarbonyl < (1-6) > /
         alkyl < (1-7) > (SR dialkylamino < (1-7) >) / alkoxy < (1-7) > (SR Ph)
       = H / alkylthio<(1-7)> / alkyl<(1-7)> (SR CN)
G22
G23
       = H / alkyl<(1-7)>
       = R / alkyl<(1-7)> / F / Cl / Br / I / OH /
G24
         alkoxy<(1-7)> / dialkylamino<(1-7)> / morpholino / NO2 /
         alkoxycarbonyl<(1-7)>
G25
       = OH / alkoxy<(1-7)> / F / Cl / Br / I
       = R / alkyl < (1-7) > / F / Cl / Br / I /
G26
         alkylcarbonyl<(1-6)> (SR (1-) G27)
G27
       = F / Cl / Br / I
       = NHCHO / alkylcarbonylamino<(1-6)> / Ph /
G28
         alkyl<(1-7)>(SO OH) / dialkylamino<(1-7)> / OH
G29
       = Ph (SO alkoxy<(1-7)>) / alky1<(1-7)> (SR G30) /
         alkyl < (1-7) > (SR 137)
15(0)-G31
```

G30 = Ph (SR (1-) G27) G31 = Hy<EC (1) Q (1) N, AN (1) N, AR (0), BD (ALL) SE> US PAT NO: 4,582,848 [IMAGE AVAILABLE] L1: 1 of 2

TITLE: 2-substituted-3-indolamines and use thereof as

'anti-diabetics

INVENTOR: Jeffrey Nadelson, Denville, NJ

DATE ISSUED: Apr. 15, 1986

ABSTRACT:

This disclosure relates to substituted indolamines, which exhibit anti-diabetic activity, having the formula: ##STR1## where m is an integer from 1 to 4,

x represents hydrogen or --OH

R represents Ar or ##STR2## and Ar represents ##STR3## R.sub.1 represents hydrogen, fluoro, chloro, lower alkyl or lower alkoxy, R.sub.2 and R.sub.3 each, independently, represent lower alkyl, or R.sub.2 and R.sub.3 together with N represent ##STR4## wherein n is 1, 2

R.sub.2 and R.sub.3 together with N represent #STR4## wherein n is 1, 2 or 3,

R.sub.4 represents hydrogen or lower alkyl, and

R.sub.5 represents hydrogen or lower alkyl, unsubstituted phenyl or phenyl substituted with fluoro, chloro, lower alkyl or lower alkoxy, or or pharmaceutically acceptable acid addition salts thereof.

US-CL-CURRENT: 514/419; 548/507

US PAT NO: 3,691,194 [IMAGE AVAILABLE] L1: 2 of 2

TITLE: 3-INDOLE-GLYOXAMIDES

INVENTOR: Zinin B. Papanastassiou, Lexington, MA

John L. Neumeyer, Wayland, MA

DATE ISSUED: Sep. 12, 1972

ABSTRACT:

New 3-indole-glyoxamides and (3-indole)-lower-alkylamines having useful C.N.S. depressant activity and prepared, respectively, by reaction of a 3-indoleglyoxalyl halide or a (3-indole)-lower-alkyl halide with an appropriate amino.

US-CL-CURRENT: 548/468, 507